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(54) Title: PROCHELATORS FOR THE PREPARATION OF RADIOMETAL LABELED MOLECULES HAVING IMPROVED BIOLOGICAL PROPERTIES

(57) Abstract: Chelating compounds for labeling bioactive molecules with a radiometal, having general formula: (I) in which, both Y groups may be positioned either trans as shown or cis; A is an effector molecule, such as a peptide, in particular octreotide, CCK, substance P, gastrine, a protein, in particular an antibody or enzyme, sugars or radiosensitizing agents, like doxorubicin; R is a hydrogen, a C₁-C₃ alkyl or a alcohol; X is a spacer, in particular (CH₂)_n-X', in which n is 1-10 and X' is COOH, NH₂, SH, OH or O-halogen, in which halogen is in particular Br, I or Cl, or a molecule of formula (a) or of formula (b), Y is COO-, CH₂CONH₂, CH₂CH₂OH, optionally complexed with a radiometal.

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INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER
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According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EMBASE, BIOSIS, EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>HEPPELER, A. ET AL: "Radiometal-labelled macrocyclic chelator-derivatized somatostatin analog with superb tumour-targeting properties and potential for receptor-mediated internal radiotherapy" CHEM.--EUR. J. (1999), 5(7), 1974-1981 , XP001073647 figures 1,2</p> <p>---</p> <p>-/-</p>	1-14



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	<p>EISENWIENER, KLAUS-PETER (1) ET AL: "Synthesis and peptide coupling of a new DOTA-based prochelator, forming neutral complexes with yttrium-90 and indium-111." JOURNAL OF LABELLED COMPOUNDS AND RADIOPHARMACEUTICALS, (MAY, 2001) VOL. 44, NO. SUPPLEMENT 1, PP. S694-S696. PRINT. MEETING INFO.: FOURTEENTH INTERNATIONAL SYMPOSIUM ON RADIOPHARMACEUTICAL CHEMISTRY INTERLAKEN, SWITZERLAND JUNE 10-15, 2001 , XP001073649 Schemes 1,2</p> <p>-----</p>	1-14
P,X	<p>EISENWIENER, K.-P. ET AL: "A convenient synthesis of novel bifunctional prochelators for coupling to bioactive peptides for radiometal labelling" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS (2000), 10(18), 2133-2135 , XP002197765 See schemes 1,2</p> <p>-----</p>	1-14